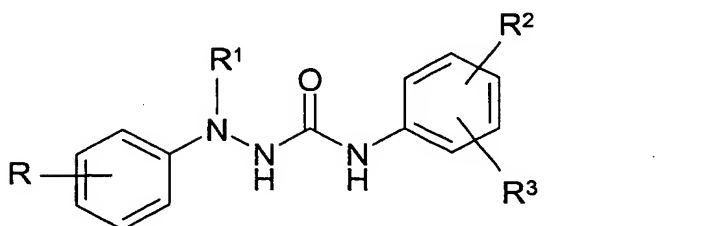


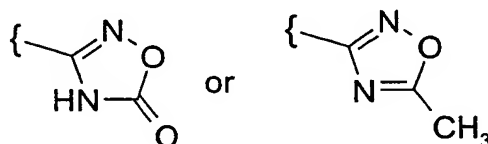
# Patent Claims

## 1. Compounds of the formula I



in which

R is C(=NH)-NH<sub>2</sub>, which may also be monosubstituted by  
 15 OH, OCOOA, OCOO(CH<sub>2</sub>)<sub>n</sub>N(A)<sub>2</sub>, OCOO(CH<sub>2</sub>)<sub>m</sub>-Het,  
 COO(CH<sub>2</sub>)<sub>n</sub>N(A)<sub>2</sub>, COO(CH<sub>2</sub>)<sub>m</sub>-Het, CO-C(A)<sub>2</sub>-R<sup>4</sup>, COOA,  
 COSA, COOAr or COOAr',  
 or is CH<sub>2</sub>NH<sub>2</sub>,

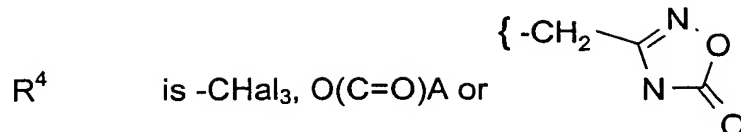


25 R<sup>1</sup> is unbranched or branched alkyl having 1-20 carbon  
 atoms, in which one or two CH<sub>2</sub> groups may be replaced  
 by O or S atoms and/or also 1-7 H atoms may be replaced  
 by F,  
 30 or is Ar or Ar',

R<sup>2</sup> is phenyl which is monosubstituted by S(O)<sub>p</sub>A, S(O)<sub>p</sub>NHA,  
 CF<sub>3</sub>, COOA or CH<sub>2</sub>NHA,

R<sup>3</sup> is H or Hal,

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- 5  $Ar$  is phenyl which is unsubstituted or monosubstituted, disubstituted or trisubstituted by  $A$ ,  $OH$ ,  $OA$ ,  $NH_2$ ,  $NHA$ ,  $NA_2$ ,  $NO_2$ ,  $CF_3$ ,  $CN$ ,  $Hal$ ,  $COA$ ,  $NHCOA$ ,  $COOA$ ,  $CONH_2$ ,  $CONHA$ ,  $CONA_2$ ,  $S(O)_pA$ ,  $S(O)_pNH_2$ ,  $S(O)_pNHA$  or  $S(O)_pNA_2$ ,
- 10  $Ar'$  is  $-(CH_2)_n-Ar$ ,
- $A$  is  $H$ , or unbranched, branched or cyclic alkyl having 1-20 carbon atoms,
- 15  $Het$  is a monocyclic or bicyclic saturated, unsaturated or aromatic heterocyclic radical having from 1 to 4  $N$ ,  $O$  and/or  $S$  atoms, which may be unsubstituted or monosubstituted or disubstituted by  $A$ ,
- $Hal$  is  $F$ ,  $Cl$ ,  $Br$  or  $I$ ,
- 20  $n$  is 1, 2, 3, 4, 5 or 6,
- $m$  is 1, 2, 3, 4, 5 or 6,
- $p$  is 0, 1 or 2,
- and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.
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2. Compounds according to Claim 1, in which

- 30  $R$  is amidino, which may also be substituted by  $OH$ , or is  $CH_2NH_2$ ,
- and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

3. Compounds according to Claim 1, in which

- 35  $R^1$  is phenyl, benzyl or alkyl having 1, 2, 3, 4, 5, 6 or 7 carbon atoms,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

- 5 4. Compounds according to one or more of Claims 1-3, in which  
R<sup>3</sup> is H or F,  
and pharmaceutically usable derivatives, solvates and stereoisomers  
thereof, including mixtures thereof in all ratios.
- 10 5. Compounds according to one or more of Claims 1-4, in which  
R<sup>2</sup> is a phenyl radical which is monosubstituted by alkyl-  
sulfonyl or aminosulfonyl,  
and pharmaceutically usable derivatives, solvates and stereoisomers  
15 thereof, including mixtures thereof in all ratios.
- 20 6. Compounds according to one or more of Claims 1-5, in which  
R<sup>2</sup> is a phenyl radical which is monosubstituted by methyl-  
sulfonyl or aminosulfonyl,  
and pharmaceutically usable derivatives, solvates and stereoisomers  
thereof, including mixtures thereof in all ratios.
- 25 7. Compounds according to Claim 1, selected from the group consisting  
of  
1-(3-N-hydroxyamidinophenyl)-4-(3-fluoro-2'-methylsulfonyl-  
biphenyl-4-yl)-1-phenylsemicarbazide,  
30 1-(3-amidinophenyl)-4-(3-fluoro-2'-methylsulfonylbiphenyl-4-yl)-1-  
phenylsemicarbazide,  
1-(3-aminomethylphenyl)-4-(3-fluoro-2'-methylsulfonylbiphenyl-4-  
yl)-1-phenylsemicarbazide,

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and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

- 5 8. Process for the preparation of compounds of the formula I according to Claims 1-7 and pharmaceutically usable derivatives, solvates and stereoisomers thereof, characterised in that
- a) they are liberated from one of their functional derivatives by treatment with a solvolysing and/or hydrogenolysing agent by
- 10 i) liberating an amidino group from its oxadiazole derivative or oxazolidinone derivative by hydrogenolysis or solvolysis,
- 15 ii) replacing a conventional amino-protecting group with hydrogen by treatment with a solvolysing or hydrogenolysing agent or liberating an amino group protected by a conventional protecting group,
- 20 b) a radical  $R^1$ ,  $R^2$  and/or Y is converted into another radical  $R^1$ ,  $R^2$  and/or Y by
- 25 i) converting a cyano group into an amidino group,
- ii) reducing an amide group to an aminoalkyl group,
- iii) reducing a cyano group to an aminoalkyl group,
- 30 and/or
- a base or acid of the formula I is converted into one of its salts.
9. Compounds of the formula I according to one or more of Claims 1 to
- 35 7 as inhibitors of coagulation factor Xa.

10. Compounds of the formula I according to one or more of Claims 1 to 7 as inhibitors of coagulation factor VIIa.
- 5 11. Medicaments comprising at least one compound of the formula I according to one or more of Claims 1 to 7 and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios, and optionally excipients and/or adjuvants.
- 10 12. Medicaments comprising at least one compound of the formula I according to one or more of Claims 1 to 7 and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios, and at least one further medicament active ingredient.
- 15 13. Use of compounds according to Claims 1 to 7 and/or physiologically acceptable salts and solvates thereof for the preparation of a medicament for the treatment of thromboses, myocardial infarction, arteriosclerosis, inflammation, apoplexy, angina pectoris, restenosis after angioplasty, claudicatio intermittens, migraine, tumours, tumour diseases and/or tumour metastases.
- 20 14. Set (kit) consisting of separate packs of
- 25 (a) an effective amount of a compound of the formula I according to one or more of Claims 1 to 7 and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios,
- 30 and
- (b) an effective amount of a further medicament active ingredient.
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15. Use of compounds of the formula I according to one or more of Claims 1 to 7 and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios, for the preparation of a medicament for the treatment of thromboses, myocardial infarction, arteriosclerosis, inflammation, apoplexy, angina pectoris, restenosis after angioplasty, claudicatio intermittens, migraine, tumours, tumour diseases and/or tumour metastases, in combination with at least one further medicament active ingredient.